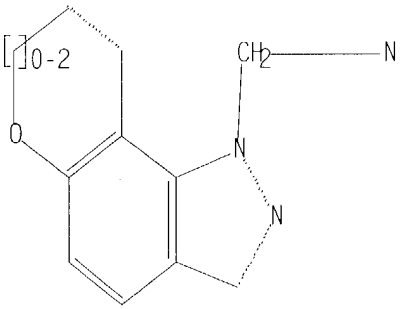
=> d his

(FILE 'HOME' ENTERED AT 13:29:21 ON 27 JUN 2004)

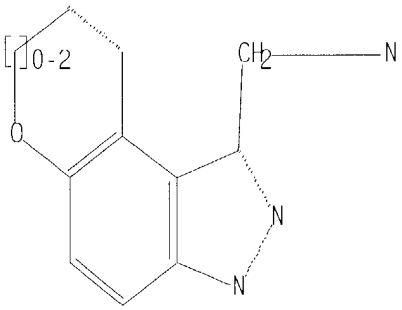
FILE 'REGISTRY' ENTERED AT 13:29:33 ON 27 JUN 2004
L1 STRUCTURE UPLOADED
L2 STRUCTURE UPLOADED
L3 7 S L1 OR L2
L4 128 S L3 FULL

FILE 'CAPLUS' ENTERED AT 13:30:27 ON 27 JUN 2004
L5 8 S L4

=> d que l5 stat
L1 STR



Structure attributes must be viewed using STN Express query preparation.
L2 STR



Structure attributes must be viewed using STN Express query preparation.
L4 128 SEA FILE=REGISTRY SSS FUL L1 OR L2
L5 8 SEA FILE=CAPLUS ABB=ON PLU=ON L4

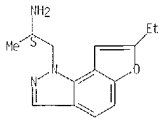
=> d 1-8 ibib iabs hitstr

L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:419647 CAPLUS
TITLE: Antiobesity effect of YM348, a novel 5-HT2C receptor agonist, in Zucker rats
AUTHOR(S): Hayashi, Aska; Sonoda, Rie; Kimura, Yasuharu; Takasu, Toshiyuki; Suzuki, Masanori; Sasamata, Masao; Miyata, Keiji
CORPORATE SOURCE: Applied Pharmacology, Institute for Drug Discovery Research, Yamanouchi Pharmaceutical Co., Ltd., Tsukuba, Ibaraki, 305-8585, Japan
SOURCE: Brain Research (2004), 1011(2), 221-227
CODEN: BRREAP; ISSN: 0006-8993
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
ABSTRACT:
The purpose of the present study was to investigate the potency of (S)-2-(7-ethyl-1H-furo[2,3-g]indazol-1-yl)-1-methylethylamine (YM348), a 5-HT2C receptor agonist, as an antiobesity agent in Zucker rats. Single oral administration of YM348 at 0.1, 0.3, 1 and 3 mg/kg significantly reduced food intake in a dose-dependent manner. This effect of YM348 on food intake was inhibited by SB242084, a selective 5-HT2C receptor antagonist. In addition, single administration of YM348 significantly increased body temperature and calorie expenditure at doses of 0.3, 1 and 3 mg/kg, and 1 and 3 mg/kg p.o., resp. The increasing effect of YM348 on body temperature and calorie expenditure was inhibited by SB242084. Chronic s.c. infusion of YM348 (3 and 30 mg/kg/day) for 2 wk also decreased food intake. However, this hypophagic effect of YM348 was marked during the initial week of infusion but only minor in the second. In contrast, no diminution of effect on body temperature and calorie expenditure was seen on repeated administration of YM348 (1 mg/kg p.o.). Two weeks' s.c. infusion of YM348 (3 and 30 mg/kg/day) resulted in a significant decrease in body weight gain throughout the experiment. These results suggest that the maintenance of thermogenesis contributed to the reduced body weight by YM348. The ability of YM348 to decrease body weight in Zucker rats suggests its strong potential for development as an antiobesity agent in humans.

IT **372163-84-3**, YM348
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antiobesity effect of YM348 in Zucker rats)
RN 372163-84-3 CAPLUS
CN 1H-Furo[2,3-g]indazole-1-ethanamine, 7-ethyl-α-methyl-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L5 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

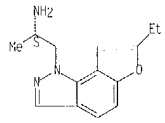


L5 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2004:9219 CAPLUS
DOCUMENT NUMBER: 140:264321
TITLE: Pharmacological profile of gYM348, a novel, potent and orally active 5-HT2C receptor agonist
AUTHOR(S): Kimura, Yasuharu; Hatanaka, Ken-ichi; Naitou, Yuki; Maeno, Kyoichi; Shimada, Itsuro; Koakutsu, Akiko; Wanibuchi, Fumikazu; Yamaguchi, Tokio
CORPORATE SOURCE: Institute for Drug Discovery Research, Pharmacology Laboratories, Yamanouchi Pharmaceutical Co., Ltd., Tsukuba, Ibaraki, 305-8585, Japan
SOURCE: European Journal of Pharmacology (2004), 483(1), 37-43
CODEN: EJPHAZ; ISSN: 0014-2999
PUBLISHER: Elsevier Science B.V.
DOCUMENT TYPE: Journal
LANGUAGE: English
ABSTRACT:
YM348, (S)-2-(7-ethyl-1H-furo[2,3-g]indazol-1-yl)-1-methylethylamine, showed a high affinity for cloned human 5-HT2C receptors (Ki: 0.89 nM). The functional selectivity for 5-HT2C receptors in the 5-HT2 receptor family was the highest among 5-HT2C receptor agonists, including m-chlorophenylpiperazine (mCPP) and Ro60-0175 ((S)-2-(6-chloro-5-fluoroindol-1-yl)-1-methylethylamine). Oral administration of YM348 induced penile erections and hypolocomotion in rats, being completely inhibited by a selective 5-HT2C receptor antagonist, SB242084 (6-chloro-5-methyl-1-[6-(2-methylpyridin-3-yloxy) pyridin-3-yl carbamoyl] indoline). The dose-response curve for penile erections, unlike that for hypolocomotion, was an inverted U-shape in the dose range of 0.0677-2.03 mg/kg. A selective 5-HT2A receptor antagonist, MDL100907 (R(+)-α-(2,3-dimethoxyphenyl)-1-[2-(4-fluorophenylethyl)]-4-piperidine-methanol), and a selective 5-HT2B receptor antagonist, RS-127445 (2-amino-4-(4-fluoronaphth-1-yl)-6-isopropylpyrimidine), had no effect on the decline in penile erection frequency at 2.03 mg/kg of YM348. YM348 did not affect blood pressure at 2.03 mg/kg. In conclusion, YM348 is a novel, potent and orally active 5-HT2C receptor agonist, and neither the activation of 5-HT2A or 5-HT2B receptors nor a cardiovascular effect is likely to contribute to the inverted U-shape dose-response curve for penile erections.

IT **372163-84-3**, YM 348
RL: DMA (Drug mechanism of action); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacol. profile of 5-HT2C receptor agonist YM348)
RN 372163-84-3 CAPLUS
CN 1H-Furo[2,3-g]indazole-1-ethanamine, 7-ethyl-α-methyl-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

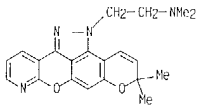
L5 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

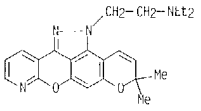
L5 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:766641 CAPLUS
DOCUMENT NUMBER: 140:209909
TITLE: Synthesis and cytotoxic activity of some new azapyranoxanthenone aminoderivatives
AUTHOR(S): Kolokythas, George; Kostakis, Ioannis K.; Pouli, Nicole; Marakos, Panagiotis; Kletsas, Dimitris; Pratsinis, Harris
CORPORATE SOURCE: Department of Pharmacy, Division of Pharmaceutical Chemistry, University of Athens, Athens, 15771, Greece
SOURCE: Bioorganic & Medicinal Chemistry (2003), 11(21), 4591-4598
CODEN: BMECEP; ISSN: 0968-0896
PUBLISHER: Elsevier Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
ABSTRACT:
A series of novel azapyranoxanthenones, bearing structural similarity to the acridone alkaloid acronycine have been designed and synthesized. Their in vitro cytotoxicities against the murine L1210 leukemia and the human solid tumor HT-29 cell lines have been investigated. The new derivs. exhibited interesting cytotoxic activity and were more potent than the parent compound

IT **664343-63-9P 664343-64-0P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(Synthesis and cytotoxic activity of some new azapyranoxanthenone aminoderivatives)
RN 664343-63-9 CAPLUS
CN Pyrano[2,3-g]pyrido[3',2':5,6]pyrano[4,3,2-cd]indazole-1(10H)-ethanamine, N,N,10,10-tetramethyl- (9CI) (CA INDEX NAME)



RN 664343-64-0 CAPLUS
CN Pyrano[2,3-g]pyrido[3',2':5,6]pyrano[4,3,2-cd]indazole-1(10H)-ethanamine, N,N-diethyl-10,10-dimethyl- (9CI) (CA INDEX NAME)

L5 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 25 THERE ARE 25 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2003:717764 CAPLUS
DOCUMENT NUMBER: 139:230775
TITLE: Preparation of pyranoindazoles and their use for the treatment of glaucoma
INVENTOR(S): Chen, Hwang-hsing; May, Jesse A.; Severns, Bryon S.
PATENT ASSIGNEE(S): Alcon, Inc., USA
SOURCE: U.S. Pat. Appl. Publ., 33 pp., Cont.-in-part of Appl. PCT/US02/16861.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003171418	A1	20030911	US 2002-316600	20021211
US 6696476	B2	20040224		
WO 2002098350	A2	20021212	WO 2002-US16861	20020530
WO 2002098350	A3	20030227		

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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2001-295429P P 20010601
WO 2002-US16861 A2 20020530
OTHER SOURCE(S): MARPAT 139:230775
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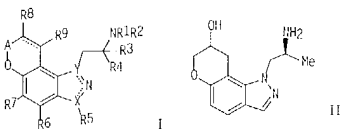
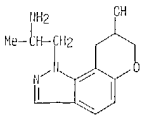


I II

ABSTRACT:
Pyranoindazoles of formula I [R1, R2 = H, alkyl; R3, R4 = H, alkyl; R3R4 =

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
heterocycle; R5 = H, halo, alkyl; R6, R7 = H, halo, CN, alkylthio, alkyl; R8, R9 = H, OH, alkyl, alkoxy, oxo, etc.; A = (CH2)n, CO, CH-alkyl; n = 0-2; X, Y = N, C] are disclosed. Also disclosed are methods for the lowering and controlling of normal or elevated intraocular pressure as well as a method for the treatment of glaucoma using compns. contg. one or more of the compds. of the present invention. Thus, II was prepd. and had IC50 of 2.25 nM and EC50 of 65.3 nM in 5-HT2A receptor binding assay.

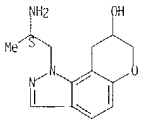
IT **477965-95-0P 477965-97-2P 477965-99-4P**
477966-02-2P 477966-04-4P 477966-06-6P
477966-08-8P 477966-10-2P 477966-11-3P
477966-13-5P 477966-15-7P 477966-17-9P
477966-19-1P 478132-04-6P 478132-05-7P
478132-06-8P 478132-07-9P 478132-08-0P
478132-09-1P 478132-10-4P 478132-12-6P
478132-15-9P 594871-62-2P 594871-63-3P
594871-64-4P 594871-65-5P 594871-66-6P
594871-67-7P 594871-68-8P 594871-69-9P
594871-70-2P 594872-02-3P 594872-03-4P
594872-04-5P 594872-05-6P 594872-06-7P
594872-07-8P 594872-08-9P 594872-09-0P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyranoindazoles for the treatment of glaucoma)
RN 477965-95-0 CAPLUS
CN Pyrano[2,3-g]indazol-8-ol, 1-(2-aminopropyl)-1,7,8,9-tetrahydro- (9CI) (CA INDEX NAME)



RN 477965-97-2 CAPLUS
CN Pyrano[2,3-g]indazol-8-ol, 1-[(2S)-2-aminopropyl]-1,7,8,9-tetrahydro- (9CI) (CA INDEX NAME)

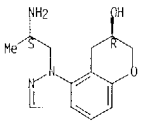
Absolute stereochemistry.

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



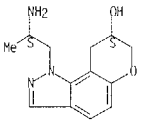
RN 477965-99-4 CAPLUS
CN Pyrano[2,3-g]indazol-8-ol, 1-[(2S)-2-aminopropyl]-1,7,8,9-tetrahydro-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 477966-02-2 CAPLUS
CN Pyrano[2,3-g]indazol-8-ol, 1-[(2S)-2-aminopropyl]-1,7,8,9-tetrahydro-, (8S)- (9CI) (CA INDEX NAME)

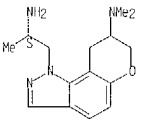
Absolute stereochemistry. Rotation (-).



RN 477966-04-4 CAPLUS
CN Pyrano[2,3-g]indazol-8-ol, 1-[(2S)-2-aminopropyl]-1,7,8,9-tetrahydro-3-methyl- (9CI) (CA INDEX NAME)

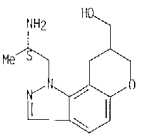
Absolute stereochemistry.

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

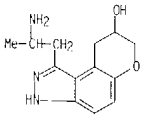


RN 477966-11-3 CAPLUS
CN Pyrano[2,3-g]indazole-8-methanol, 1-[(2S)-2-aminopropyl]-1,7,8,9-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

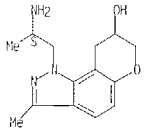


RN 477966-13-5 CAPLUS
CN Pyrano[3,2-e]indazol-8-ol, 1-(2-aminopropyl)-3,7,8,9-tetrahydro- (9CI) (CA INDEX NAME)



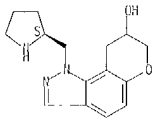
RN 477966-15-7 CAPLUS
CN Pyrano[3,2-e]indazol-8-ol, 3,7,8,9-tetrahydro-1-(2-pyrrolidinylmethyl)- (9CI) (CA INDEX NAME)

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



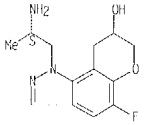
RN 477966-06-6 CAPLUS
CN Pyrano[2,3-g]indazol-8-ol, 1,7,8,9-tetrahydro-1-[(2S)-2-pyrrolidinylmethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 477966-08-8 CAPLUS
CN Pyrano[2,3-g]indazol-8-ol, 1-[(2S)-2-aminopropyl]-5-fluoro-1,7,8,9-tetrahydro- (9CI) (CA INDEX NAME)

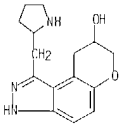
Absolute stereochemistry.



RN 477966-10-2 CAPLUS
CN Pyrano[2,3-g]indazole-1(7H)-ethanamine, 8-(dimethylamino)-8,9-dihydro-α-methyl-, (αS)- (9CI) (CA INDEX NAME)

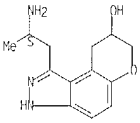
Absolute stereochemistry.

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



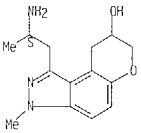
RN 477966-17-9 CAPLUS
CN Pyrano[3,2-e]indazol-8-ol, 1-[(2S)-2-aminopropyl]-3,7,8,9-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 477966-19-1 CAPLUS
CN Pyrano[3,2-e]indazol-8-ol, 1-[(2S)-2-aminopropyl]-3,7,8,9-tetrahydro-3-methyl- (9CI) (CA INDEX NAME)

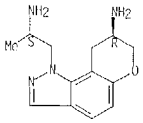
Absolute stereochemistry.



RN 478132-04-6 CAPLUS
CN Pyrano[2,3-g]indazole-1(7H)-ethanamine, 8-amino-8,9-dihydro-α-methyl-, (αS,8R)- (9CI) (CA INDEX NAME)

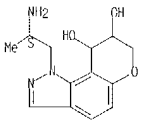
Absolute stereochemistry.

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



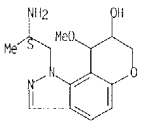
RN 478132-05-7 CAPLUS
CN Pyrano[2,3-g]indazole-8,9-diol, 1-[(2S)-2-aminopropyl]-1,7,8,9-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



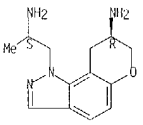
RN 478132-06-8 CAPLUS
CN Pyrano[2,3-g]indazol-8-ol, 1-[(2S)-2-aminopropyl]-1,7,8,9-tetrahydro-9-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 478132-07-9 CAPLUS
CN Pyrano[2,3-g]indazol-8-ol, 1-(2-aminopropyl)-1,7,8,9-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

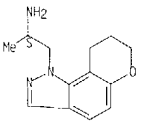
L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● HCl

RN 478132-10-4 CAPLUS
CN Pyrano[2,3-g]indazole-1(7H)-ethanamine, 8,9-dihydro-α-methyl-, dihydrochloride, (αS)- (9CI) (CA INDEX NAME)

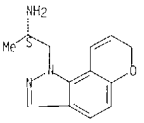
Absolute stereochemistry. Rotation (-).



● 2 HCl

RN 478132-12-6 CAPLUS
CN Pyrano[2,3-g]indazole-1(7H)-ethanamine, α-methyl-, (αS)- (9CI) (CA INDEX NAME)

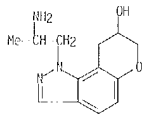
Absolute stereochemistry.



RN 478132-15-9 CAPLUS
CN Pyrano[2,3-g]indazol-8-ol, 9-amino-1-[(2S)-2-aminopropyl]-1,7,8,9-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

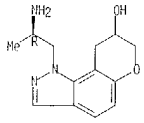
L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● HCl

RN 478132-08-0 CAPLUS
CN Pyrano[2,3-g]indazol-8-ol, 1-[(2R)-2-aminopropyl]-1,7,8,9-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

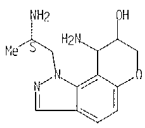


● HCl

RN 478132-09-1 CAPLUS
CN Pyrano[2,3-g]indazole-1(7H)-ethanamine, 8-amino-8,9-dihydro-α-methyl-, trihydrochloride, (αS,8R)- (9CI) (CA INDEX NAME)

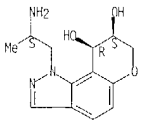
Absolute stereochemistry.

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 594871-62-2 CAPLUS
CN Pyrano[2,3-g]indazole-8,9-diol, 1-[(2S)-2-aminopropyl]-1,7,8,9-tetrahydro-, (8S,9R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

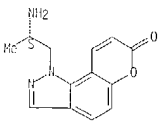


RN 594871-63-3 CAPLUS
CN Pyrano[2,3-g]indazol-7(1H)-one, 1-[(2S)-2-aminopropyl]-, mono(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 478132-13-7
CMF C13 H13 N3 O2

Absolute stereochemistry.



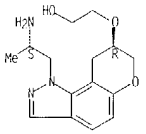
CM 2

CRN 76-05-1
CMF C2 H F3 O2

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

RN 594871-64-4 CAPLUS
CN Ethanol, 2-[[(8R)-1-[(2S)-2-aminopropyl]-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-yl]oxy]-, dihydrochloride (9CI) (CA INDEX NAME)

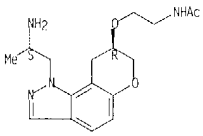
Absolute stereochemistry.



●2 HCl

RN 594871-65-5 CAPLUS
CN Acetamide, N-[2-[[(8R)-1-[(2S)-2-aminopropyl]-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-yl]oxy]ethyl]- (9CI) (CA INDEX NAME)

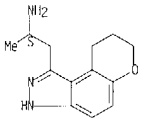
Absolute stereochemistry.



RN 594871-66-6 CAPLUS
CN Acetamide, 2-[[(8R)-1-[(2S)-2-aminopropyl]-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-yl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

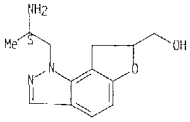
L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



●2 HCl

RN 594871-69-9 CAPLUS
CN 1H-Furo[2,3-g]indazole-7-methanol, 1-[(2S)-2-aminopropyl]-7,8-dihydro-, dihydrochloride (9CI) (CA INDEX NAME)

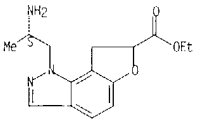
Absolute stereochemistry.



●2 HCl

RN 594871-70-2 CAPLUS
CN 1H-Furo[2,3-g]indazole-7-carboxylic acid, 1-[(2S)-2-aminopropyl]-7,8-dihydro-, ethyl ester (9CI) (CA INDEX NAME)

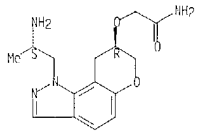
Absolute stereochemistry.



RN 594872-02-3 CAPLUS
CN Ethanol, 2-[[(8R)-1-[(2S)-2-aminopropyl]-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-yl]oxy]- (9CI) (CA INDEX NAME)

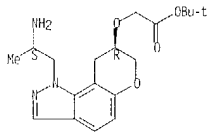
Absolute stereochemistry.

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 594871-67-7 CAPLUS
CN Acetic acid, [[(8R)-1-[(2S)-2-aminopropyl]-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-yl]oxy]-, 1,1-dimethylethyl ester, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

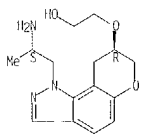


●2 HCl

RN 594871-68-8 CAPLUS
CN Pyrano[3,2-e]indazole-1-ethanamine, 3,7,8,9-tetrahydro-α-methyl-, dihydrochloride, (αS)- (9CI) (CA INDEX NAME)

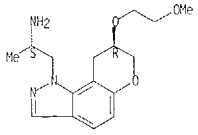
Absolute stereochemistry.

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



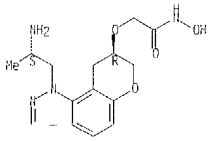
RN 594872-03-4 CAPLUS
CN Pyrano[2,3-g]indazole-1(7H)-ethanamine, 8,9-dihydro-8-(2-methoxyethoxy)-α-methyl-, (αS,8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 594872-04-5 CAPLUS
CN Acetamide, 2-[[(8R)-1-[(2S)-2-aminopropyl]-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-yl]oxy]-N-hydroxy- (9CI) (CA INDEX NAME)

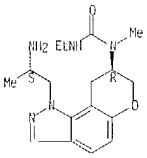
Absolute stereochemistry.



RN 594872-05-6 CAPLUS
CN Urea, N-[(8R)-1-[(2S)-2-aminopropyl]-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-yl]-N'-ethyl-N-methyl- (9CI) (CA INDEX NAME)

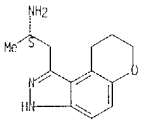
Absolute stereochemistry.

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



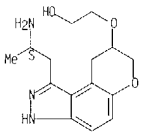
RN 594872-06-7 CAPLUS
CN Pyrano[3,2-e]indazole-1-ethanamine, 3,7,8,9-tetrahydro-α-methyl-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



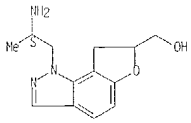
RN 594872-07-8 CAPLUS
CN Ethanol, 2-[[1-[(2S)-2-aminopropyl]-3,7,8,9-tetrahydropyrano[3,2-e]indazol-8-yl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



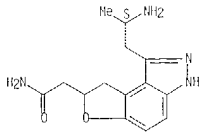
RN 594872-08-9 CAPLUS
CN 1H-Furo[2,3-g]indazole-7-methanol, 1-[(2S)-2-aminopropyl]-7,8-dihydro- (9CI) (CA INDEX NAME)

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Absolute stereochemistry.



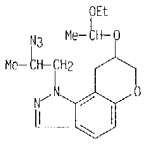
RN 594872-09-0 CAPLUS
CN 3H-Furo[3,2-e]indazole-7-acetamide, 1-[(2S)-2-aminopropyl]-7,8-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

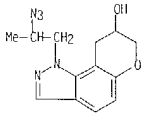


IT **478132-32-0P 478132-33-1P 478132-42-2P**
478132-43-3P 478132-44-4P 478132-48-8P
478132-50-2P 478132-51-3P 478132-55-7P
478132-56-8P 478132-57-9P 478132-59-1P
478132-60-4P 478132-62-6P 594871-74-6P
594871-75-7P 594871-76-8P 594871-79-1P
594871-84-8P 594871-88-2P 594871-94-0P
594871-99-5P 594872-00-1P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of pyranoindazoles for the treatment of glaucoma)
RN 478132-32-0 CAPLUS
CN Pyrano[2,3-g]indazole, 1-(2-azidopropyl)-8-(1-ethoxyethoxy)-1,7,8,9-tetrahydro- (9CI) (CA INDEX NAME)

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

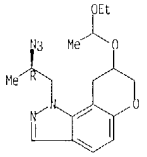


RN 478132-33-1 CAPLUS
CN Pyrano[2,3-g]indazol-8-ol, 1-(2-azidopropyl)-1,7,8,9-tetrahydro- (9CI) (CA INDEX NAME)



RN 478132-42-2 CAPLUS
CN Pyrano[2,3-g]indazole, 1-[(2R)-2-azidopropyl]-8-(1-ethoxyethoxy)-1,7,8,9-tetrahydro- (9CI) (CA INDEX NAME)

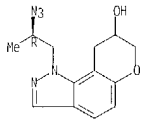
Absolute stereochemistry.



RN 478132-43-3 CAPLUS
CN Pyrano[2,3-g]indazol-8-ol, 1-[(2R)-2-azidopropyl]-1,7,8,9-tetrahydro- (9CI) (CA INDEX NAME)

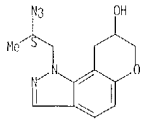
Absolute stereochemistry.

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



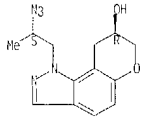
RN 478132-44-4 CAPLUS
CN Pyrano[2,3-g]indazol-8-ol, 1-[(2S)-2-azidopropyl]-1,7,8,9-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 478132-48-8 CAPLUS
CN Pyrano[2,3-g]indazol-8-ol, 1-[(2S)-2-azidopropyl]-1,7,8,9-tetrahydro-, (8R)- (9CI) (CA INDEX NAME)

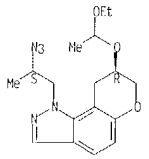
Absolute stereochemistry.



RN 478132-50-2 CAPLUS
CN Pyrano[2,3-g]indazole, 1-[(2S)-2-azidopropyl]-8-(1-ethoxyethoxy)-1,7,8,9-tetrahydro-, (8R)- (9CI) (CA INDEX NAME)

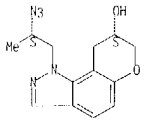
Absolute stereochemistry.

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



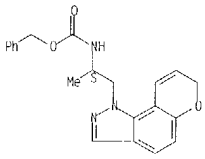
RN 478132-51-3 CAPLUS
CN Pyrano[2,3-g]indazol-8-ol, 1-[(2S)-2-azidopropyl]-1,7,8,9-tetrahydro-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 478132-55-7 CAPLUS
CN Carbamic acid, [(1S)-1-methyl-2-pyrano[2,3-g]indazol-1(7H)-ylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

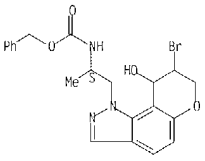


RN 478132-56-8 CAPLUS
CN Carbamic acid, [(1S)-2-[(8R,9S)-8,9-dihydro-8,9-dihydroxypyrano[2,3-g]indazol-1(7H)-yl]-1-methylethyl]-, phenylmethyl ester (9CI) (CA INDEX

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

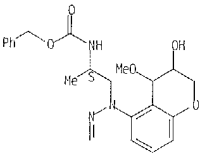
RN 478132-60-4 CAPLUS
CN Carbamic acid, [(1S)-2-(8-bromo-8,9-dihydro-9-hydroxypyrano[2,3-g]indazol-1(7H)-yl)-1-methylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 478132-62-6 CAPLUS
CN Carbamic acid, [(1S)-2-(8,9-dihydro-8-hydroxy-9-methoxypyrano[2,3-g]indazol-1(7H)-yl)-1-methylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

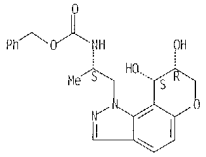


RN 594871-74-6 CAPLUS
CN Pyrano[2,3-g]indazole, 1-[(2S)-2-azidopropyl]-8-(1-ethoxyethoxy)-1,7,8,9-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

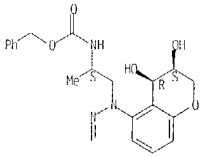
L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
NAME)

Absolute stereochemistry.



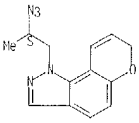
RN 478132-57-9 CAPLUS
CN Carbamic acid, [(1S)-2-[(8S,9R)-8,9-dihydro-8,9-dihydroxypyrano[2,3-g]indazol-1(7H)-yl]-1-methylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

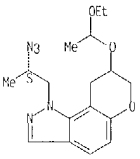


RN 478132-59-1 CAPLUS
CN Pyrano[2,3-g]indazole, 1-[(2S)-2-azidopropyl]-1,7-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

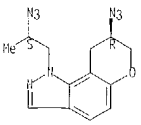


L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



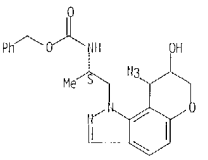
RN 594871-75-7 CAPLUS
CN Pyrano[2,3-g]indazole, 8-azido-1-[(2S)-2-azidopropyl]-1,7,8,9-tetrahydro-, (8R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 594871-76-8 CAPLUS
CN Carbamic acid, [(1S)-2-(9-azido-8,9-dihydro-8-hydroxypyrano[2,3-g]indazol-1(7H)-yl)-1-methylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

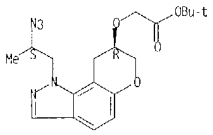
Absolute stereochemistry.



RN 594871-79-1 CAPLUS
CN Acetic acid, [[(8R)-1-[(2S)-2-azidopropyl]-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-yl]oxy]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

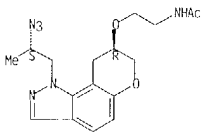
Absolute stereochemistry.

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



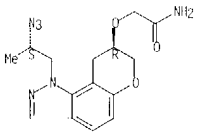
RN 594871-84-8 CAPLUS
CN Acetamide, N-[2-[[(8R)-1-[(2S)-2-azidopropyl]-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-yl]oxy]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



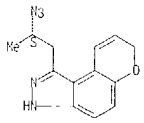
RN 594871-88-2 CAPLUS
CN Acetamide, 2-[[(8R)-1-[(2S)-2-azidopropyl]-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-yl]oxy]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



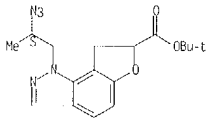
RN 594871-94-0 CAPLUS
CN Pyrano[3,2-e]indazole, 1-[(2S)-2-azidopropyl]-3,7-dihydro- (9CI) (CA INDEX NAME)

L5 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Absolute stereochemistry.



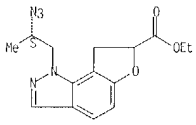
RN 594871-99-5 CAPLUS
CN 1H-Furo[2,3-g]indazole-7-carboxylic acid, 1-[(2S)-2-azidopropyl]-7,8-dihydro-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 594872-00-1 CAPLUS
CN 1H-Furo[2,3-g]indazole-7-carboxylic acid, 1-[(2S)-2-azidopropyl]-7,8-dihydro-, ethyl ester (9CI) (CA INDEX NAME)

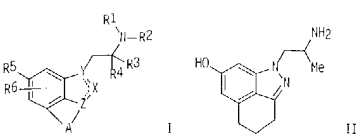
Absolute stereochemistry.



L5 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN
ACCESSION NUMBER: 2002:946270 CAPLUS
DOCUMENT NUMBER: 138:24711
TITLE: Novel fused indazoles and indoles with 5-HT2 receptor activity, and their use for lowering of intraocular pressure in the treatment of glaucoma
INVENTOR(S): May, Jesse A.; Dantanarayana, Anura P.
PATENT ASSIGNEE(S): Alcon, Inc., Switz.
SOURCE: PCT Int. Appl., 35 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002098860	A1	20021212	WO 2002-US17114	20020530
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1392658	A1	20040303	EP 2002-734608	20020530
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2004106597	A1	20040603	US 2003-721204	20031125

PRIORITY APPLN. INFO.: US 2001-295428P P 20010601
WO 2002-US17114 W 20020530
OTHER SOURCE(S): MARPAT 138:24711
GRAPHIC IMAGE:



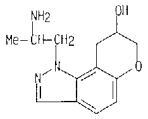
I II

ABSTRACT:

L5 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
Novel fused indazoles and indoles are disclosed. Also disclosed are methods for the lowering and controlling of normal or elevated intraocular pressure, as well as a method for the treatment of glaucoma, using comps. contg. one or more of the invention compds. In particular, compds. I are claimed [wherein R1 and R2 are independently chosen from H or alkyl; R3 and R4 are independently chosen from H or alkyl, or R3, R4, and the C atom to which they are attached form cycloalkyl; or R2 and R3 together are (CH2)m to form a satd. heterocycle; R5 is chosen from OH, alkoxy, alkyl, halogen, or OC(O)W; R6 is chosen from H, halogen, or (un)substituted alkyl; R7 and R8 are H or alkyl; W is (un)substituted alkyl, NR7R8, NR7CH2(CH2)nNR7R8, O-alkyl, or (un)substituted alkenyl; m is 3 or 4; n is 2 or 3; A is a 5- to 7-membered ring optionally contg. one heteroatom chosen from NR7, O, or S; X is either N or C; Y and Z are either N or C, wherein Y and Z are different; and the dashed bonds denote a suitably appointed single and double bond; or pharmaceutically acceptable salts or solvates thereof]. Nine specific compds. I are claimed per se, and these compds. plus 13 addnl. unprepd. compds. are claimed in corresponding methods of lowering intraocular pressure or treating glaucoma. For instance, title compd. II.2HCl was prepd. in 8 steps from 1-amino-5,6,7,8-tetrahydronaphthalene (III). The sequence involved: (1) nitration of III in the 2- and 3-positions; (2) diazotization with cyclization to give a benzopyrazole ring; (3) N-alkylation with propylene oxide; (4) hydrogenation of the nitro group to amino; (5) diazotization and hydroxylation of the formed amino group; (6) benzylation of the formed phenolic hydroxy group; (7) mesylation of the alkanolic hydroxy group and conversion to the azide; and (8) hydrogenation of the azide and acidification. II.2HCl bound to rat cortical 5-HT2 receptors in vitro with an IC50 of 0.714 nM, vs. 0.941 for 5-HT itself. This compd. also showed agonist activity at rat vascular 5-HT2 receptors in a phosphoinositide turnover assay, and reduced intraocular pressure in conscious cynomolgus monkeys by about 20% for at least 6 h at a dose of 300 μg (topical).

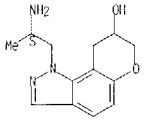
IT **477965-95-0**, 1-(2-Aminopropyl)-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-ol **477965-97-2**, 1-((S)-2-Aminopropyl)-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-ol **477965-99-4**, (R)-1-((S)-2-Aminopropyl)-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-ol **477966-02-2**, (S)-1-((S)-2-Aminopropyl)-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-ol **477966-04-4**, 1-((S)-2-Aminopropyl)-3-methyl-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-ol **477966-06-6**, 1-((S)-1-Pyrrolidin-2-ylmethyl)-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-ol **477966-08-8**, 1-((S)-2-Aminopropyl)-5-fluoro-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-ol **477966-10-2**, [1-((S)-2-Aminopropyl)-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-yl]dimethylamine **477966-11-3**, [1-((S)-2-Aminopropyl)-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-yl]methanol **477966-13-5**, 1-(2-Aminopropyl)-3,7,8,9-tetrahydropyrano[3,2-e]indazol-8-ol **477966-15-7**, 1-(Pyrrolidin-2-ylmethyl)-3,7,8,9-tetrahydropyrano[3,2-e]indazol-8-ol **477966-17-9**, 1-((S)-2-Aminopropyl)-3,7,8,9-tetrahydropyrano[3,2-e]indazol-8-ol **477966-19-1**, 1-((S)-2-Aminopropyl)-3-methyl-3,7,8,9-tetrahydropyrano[3,2-e]indazol-8-ol
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

L5 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(drug candidate; prepn. of novel fused indazoles and indoles with 5-HT2 receptor activity for use in the treatment of glaucoma)
RN 477965-95-0 CAPLUS
CN Pyrano[2,3-g]indazol-8-ol, 1-(2-aminopropyl)-1,7,8,9-tetrahydro- (9CI) (CA INDEX NAME)



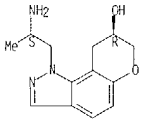
RN 477965-97-2 CAPLUS
CN Pyrano[2,3-g]indazol-8-ol, 1-[(2S)-2-aminopropyl]-1,7,8,9-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 477965-99-4 CAPLUS
CN Pyrano[2,3-g]indazol-8-ol, 1-[(2S)-2-aminopropyl]-1,7,8,9-tetrahydro-, (8R)- (9CI) (CA INDEX NAME)

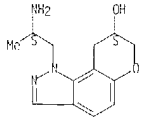
Absolute stereochemistry. Rotation (+).



RN 477966-02-2 CAPLUS

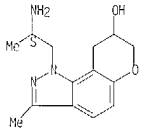
L5 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN Pyrano[2,3-g]indazol-8-ol, 1-[(2S)-2-aminopropyl]-1,7,8,9-tetrahydro-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



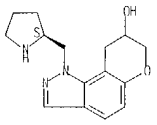
RN 477966-04-4 CAPLUS
CN Pyrano[2,3-g]indazol-8-ol, 1-[(2S)-2-aminopropyl]-1,7,8,9-tetrahydro-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 477966-06-6 CAPLUS
CN Pyrano[2,3-g]indazol-8-ol, 1,7,8,9-tetrahydro-1-[(2S)-2-pyrrolidinylmethyl]- (9CI) (CA INDEX NAME)

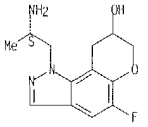
Absolute stereochemistry.



RN 477966-08-8 CAPLUS
CN Pyrano[2,3-g]indazol-8-ol, 1-[(2S)-2-aminopropyl]-5-fluoro-1,7,8,9-

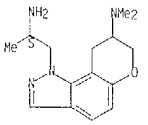
L5 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



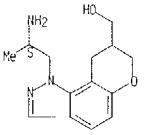
RN 477966-10-2 CAPLUS
CN Pyrano[2,3-g]indazole-1(7H)-ethanamine, 8-(dimethylamino)-8,9-dihydro-α-methyl-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



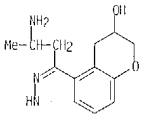
RN 477966-11-3 CAPLUS
CN Pyrano[2,3-g]indazole-8-methanol, 1-[(2S)-2-aminopropyl]-1,7,8,9-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

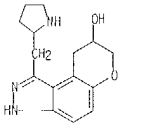


RN 477966-13-5 CAPLUS
CN Pyrano[3,2-e]indazol-8-ol, 1-(2-aminopropyl)-3,7,8,9-tetrahydro- (9CI) (CA INDEX NAME)

L5 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

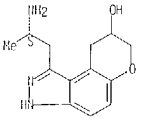


RN 477966-15-7 CAPLUS
CN Pyrano[3,2-e]indazol-8-ol, 3,7,8,9-tetrahydro-1-(2-pyrrolidinylmethyl)- (9CI) (CA INDEX NAME)



RN 477966-17-9 CAPLUS
CN Pyrano[3,2-e]indazol-8-ol, 1-[(2S)-2-aminopropyl]-3,7,8,9-tetrahydro- (9CI) (CA INDEX NAME)

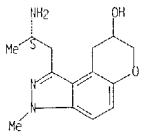
Absolute stereochemistry.



RN 477966-19-1 CAPLUS
CN Pyrano[3,2-e]indazol-8-ol, 1-[(2S)-2-aminopropyl]-3,7,8,9-tetrahydro-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2002:946051 CAPLUS
DOCUMENT NUMBER: 138:24710
TITLE: Pyranoindazoles with 5-HT2 receptor activity, and their use for lowering intraocular pressure in the treatment of glaucoma
INVENTOR(S): Chen, Hwang-Hsing; May, Jesse A.; Severns, Bryon S.
PATENT ASSIGNEE(S): Alcon, Inc., Switz.
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002098350	A2	20021212	WO 2002-US16861	20020530
WO 2002098350	A3	20030227		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
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US 2003171418	A1	20030911	US 2002-316600	20021211
US 6696476	B2	20040224		
WO 2003101379	A2	20031211	WO 2002-US39666	20021211
WO 2003101379	A3	20040304		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO				
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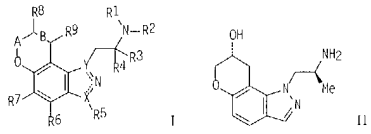
US 2004106609	A1	20040603	US 2003-722042	20031124

PRIORITY APPLN. INFO.: US 2001-295429P P 20010601
WO 2002-US16861 W 20020530
OTHER SOURCE(S): MARPAT 138:24710
GRAPHIC IMAGE:

L5 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

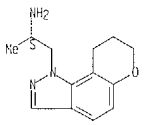


I II

ABSTRACT:
New pyranoindazoles are disclosed. Also disclosed are methods for the lowering and controlling of normal or elevated intraocular pressure, as well as a method for the treatment of glaucoma, using compns. containing one or more of the invention compds. In particular, compds. I are claimed [wherein: R1, R2 = H or alkyl; R3, R4 = H or alkyl; or CR3R4 forms cycloalkyl ring; or R2R3 = saturated (CH2)m to form a heterocycle; R5 = H, halo, or (un)substituted alkyl; R6, R7 = H, halo, cyano, alkylthio, or (un)substituted alkyl; R8, R9 = H, OH, (un)substituted alkyl, alkoxy, oxo, NR10R11, OC(O)NR1R2, OC(O)-C1-4-alkyl, or alkylthiol; R10, R11 = H, (un)substituted alkyl, C(O)-C1-4-alkyl, C(O)O-C1-4-alkyl, or C(O)NR1R2; or R10R11 forms a 5- or 6-membered heterocyclic ring, which optionally includes an addnl. heteroatom (N, O, or S) when a 6-membered ring; A = (CH2)n, CO, or CH-C1-4-alkyl; B = single or double bond, wherein when B = double bond, then R8 and R9 = H or (un)substituted alkyl; m = 2-4; n = 0-2; X, Y = N or C, wherein X ≠ Y; dashed bonds = appropriate single and double bonds]. Twelve synthetic examples are given, and sixteen individual compds. are specifically claimed, both per se and in associated method claims. For instance, title compound II was prepared in 7 steps from 1-[(R)-2-[(tert-butyldimethylsilanyl)oxy]propyl]-1H-indazol-6-ol (III). Specifically, the sequence involved: (1) etherification of III with propargyl bromide; (2) thermal cyclization of the propargyl ether to give a dihydropyrano[2,3-g]indazole; (3) hydroboration and oxidation of the latter to give a tetrahydropyrano[2,3-g]indazol-8-ol derivative, with one diastereomer predominating; (4) protection of the ring alc. as a 1-ethoxyethyl ether, and desilylation of the other alc.; (5) conversion of the free alc. to an (S)-isomeric azide via the mesylate; (6) removal of the 1-ethoxyethyl ether protecting group; and (7) reduction of the azide to an amine. II bound to rat or human cortical 5-HT2 receptors in vitro with an IC50 of 2.19 nM, vs. 0.941 nM for 5-HT itself. II also acted as a 5-HT2 agonist in a phosphoinositide turnover assay, with an EC50 of 65.3 nM, and an efficacy (Emax) comparable to 5-HT itself. II also reduced intraocular pressure in conscious cynomolgus monkeys by about 30% after 6 h, at a dose of 300 μg (topical), which was comparable to the known agent (R)-DOI at 100 μg.

IT **478132-10-4P**, (S)-2-(8,9-Dihydro-7H-pyrano[2,3-g]indazol-1-yl)-1-methylethylamine dihydrochloride
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(drug candidate; prepn. of pyranoindazoles with 5-HT2 receptor activity for use in the treatment of glaucoma)
RN 478132-10-4 CAPLUS
CN Pyrano[2,3-g]indazole-1(7H)-ethanamine, 8,9-dihydro-α-methyl-, dihydrochloride, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

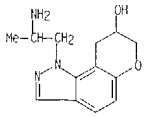


●2 HCl

IT **477965-95-0P**, 1-(2-Aminopropyl)-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-ol **477965-97-2P**, 1-((S)-2-Aminopropyl)-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-ol **477965-99-4P**, (+)-(R)-1-((S)-2-Aminopropyl)-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-ol **477966-02-2P**, (-)-(S)-1-((S)-2-Aminopropyl)-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-ol **477966-04-4P**, 1-((S)-2-Aminopropyl)-3-methyl-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-ol **477966-06-6P**, 1-((S)-1-Pyrrolidin-2-ylmethyl)-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-ol **477966-08-8P**, 1-((S)-2-Aminopropyl)-5-fluoro-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-ol **477966-10-2P**, [1-((S)-2-Aminopropyl)-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-yl]dimethylamine **477966-11-3P**, [1-((S)-2-Aminopropyl)-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-yl]methanol **477966-13-5P**, 1-(2-Aminopropyl)-3,7,8,9-tetrahydropyrano[3,2-e]indazol-8-ol **477966-15-7P**, 1-(Pyrrolidin-2-ylmethyl)-3,7,8,9-tetrahydropyrano[3,2-e]indazol-8-ol **477966-17-9P**, 1-((S)-2-Aminopropyl)-3,7,8,9-tetrahydropyrano[3,2-e]indazol-8-ol **477966-19-1P**, 1-((S)-2-Aminopropyl)-3-methyl-3,7,8,9-tetrahydropyrano[3,2-e]indazol-8-ol **478132-04-6P**, (R)-1-((S)-2-Aminopropyl)-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-ylamine **478132-05-7P**, 1-((S)-2-Aminopropyl)-1,7,8,9-tetrahydropyrano[2,3-g]indazole-8,9-diol **478132-06-8P**, 1-((S)-2-Aminopropyl)-9-methoxy-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-ol **478132-07-9P**, 1-(2-Aminopropyl)-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-ol hydrochloride **478132-08-0P**, 1-((R)-2-Aminopropyl)-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-ol hydrochloride **478132-09-1P**, (R)-1-((S)-2-Aminopropyl)-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-ylamine trihydrochloride **478132-11-5P**, (S)-(-)-2-(8,9-Dihydro-7H-pyrano[2,3-g]indazol-1-yl)-1-methylethylamine **478132-12-6P**, (S)-1-Methyl-2-(7H-pyrano[2,3-g]indazol-1-yl)ethylamine

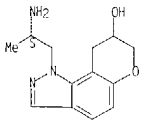
L5 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
478132-14-8P, 1-((S)-2-Aminopropyl)-1H-pyrano[2,3-g]indazol-7-one trifluoroacetate **478132-15-9P**, 9-Amino-1-((S)-2-aminopropyl)-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-ol
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; prepn. of pyranoindazoles with 5-HT2 receptor activity for use in the treatment of glaucoma)

RN 477965-95-0 CAPLUS
CN Pyrano[2,3-g]indazol-8-ol, 1-(2-aminopropyl)-1,7,8,9-tetrahydro- (9CI) (CA INDEX NAME)



RN 477965-97-2 CAPLUS
CN Pyrano[2,3-g]indazol-8-ol, 1-[(2S)-2-aminopropyl]-1,7,8,9-tetrahydro- (9CI) (CA INDEX NAME)

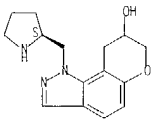
Absolute stereochemistry.



RN 477965-99-4 CAPLUS
CN Pyrano[2,3-g]indazol-8-ol, 1-[(2S)-2-aminopropyl]-1,7,8,9-tetrahydro-, (8R)- (9CI) (CA INDEX NAME)

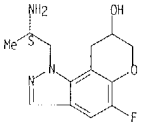
Absolute stereochemistry. Rotation (+).

L5 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



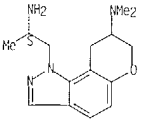
RN 477966-08-8 CAPLUS
CN Pyrano[2,3-g]indazol-8-ol, 1-[(2S)-2-aminopropyl]-5-fluoro-1,7,8,9-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 477966-10-2 CAPLUS
CN Pyrano[2,3-g]indazole-1(7H)-ethanamine, 8-(dimethylamino)-8,9-dihydro-α-methyl-, (αS)- (9CI) (CA INDEX NAME)

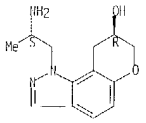
Absolute stereochemistry.



RN 477966-11-3 CAPLUS
CN Pyrano[2,3-g]indazole-8-methanol, 1-[(2S)-2-aminopropyl]-1,7,8,9-tetrahydro- (9CI) (CA INDEX NAME)

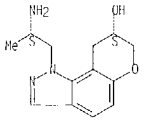
Absolute stereochemistry.

L5 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



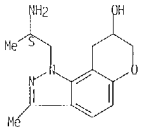
RN 477966-02-2 CAPLUS
CN Pyrano[2,3-g]indazol-8-ol, 1-[(2S)-2-aminopropyl]-1,7,8,9-tetrahydro-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 477966-04-4 CAPLUS
CN Pyrano[2,3-g]indazol-8-ol, 1-[(2S)-2-aminopropyl]-1,7,8,9-tetrahydro-3-methyl- (9CI) (CA INDEX NAME)

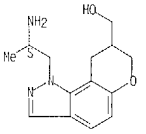
Absolute stereochemistry.



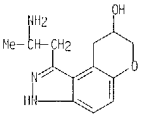
RN 477966-06-6 CAPLUS
CN Pyrano[2,3-g]indazol-8-ol, 1,7,8,9-tetrahydro-1-[(2S)-2-pyrrolidinylmethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

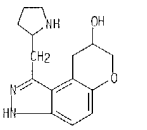
L5 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 477966-13-5 CAPLUS
CN Pyrano[3,2-e]indazol-8-ol, 1-(2-aminopropyl)-3,7,8,9-tetrahydro- (9CI) (CA INDEX NAME)



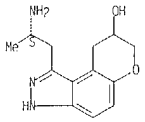
RN 477966-15-7 CAPLUS
CN Pyrano[3,2-e]indazol-8-ol, 3,7,8,9-tetrahydro-1-(2-pyrrolidinylmethyl)- (9CI) (CA INDEX NAME)



RN 477966-17-9 CAPLUS
CN Pyrano[3,2-e]indazol-8-ol, 1-[(2S)-2-aminopropyl]-3,7,8,9-tetrahydro- (9CI) (CA INDEX NAME)

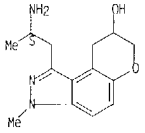
Absolute stereochemistry.

L5 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



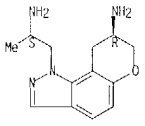
RN 477966-19-1 CAPLUS
CN Pyrano[3,2-e]indazol-8-ol, 1-[(2S)-2-aminopropyl]-3,7,8,9-tetrahydro-3-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 478132-04-6 CAPLUS
CN Pyrano[2,3-g]indazole-1(7H)-ethanamine, 8-amino-8,9-dihydro-α-methyl-, (αS,8R)- (9CI) (CA INDEX NAME)

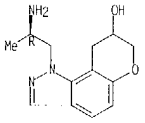
Absolute stereochemistry.



RN 478132-05-7 CAPLUS
CN Pyrano[2,3-g]indazole-8,9-diol, 1-[(2S)-2-aminopropyl]-1,7,8,9-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

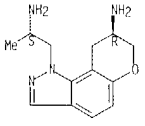
L5 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



● HCl

RN 478132-09-1 CAPLUS
CN Pyrano[2,3-g]indazole-1(7H)-ethanamine, 8-amino-8,9-dihydro-α-methyl-, trihydrochloride, (αS,8R)- (9CI) (CA INDEX NAME)

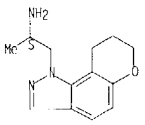
Absolute stereochemistry.



● 3 HCl

RN 478132-11-5 CAPLUS
CN Pyrano[2,3-g]indazole-1(7H)-ethanamine, 8,9-dihydro-α-methyl-, (αS)- (9CI) (CA INDEX NAME)

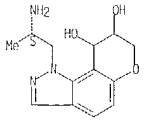
Absolute stereochemistry. Rotation (-).



RN 478132-12-6 CAPLUS
CN Pyrano[2,3-g]indazole-1(7H)-ethanamine, α-methyl-, (αS)- (9CI) (CA INDEX NAME)

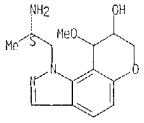
Absolute stereochemistry.

L5 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

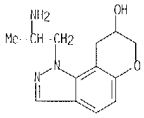


RN 478132-06-8 CAPLUS
CN Pyrano[2,3-g]indazol-8-ol, 1-[(2S)-2-aminopropyl]-1,7,8,9-tetrahydro-9-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 478132-07-9 CAPLUS
CN Pyrano[2,3-g]indazol-8-ol, 1-(2-aminopropyl)-1,7,8,9-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

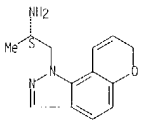


● HCl

RN 478132-08-0 CAPLUS
CN Pyrano[2,3-g]indazol-8-ol, 1-[(2R)-2-aminopropyl]-1,7,8,9-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

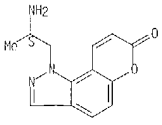


RN 478132-14-8 CAPLUS
CN Pyrano[2,3-g]indazol-7(1H)-one, 1-[(2S)-2-aminopropyl]-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

CRN 478132-13-7
CMF C13 H13 N3 O2

Absolute stereochemistry.



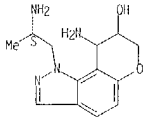
CM 2

CRN 76-05-1
CMF C2 H F3 O2

RN 478132-15-9 CAPLUS
CN Pyrano[2,3-g]indazol-8-ol, 9-amino-1-[(2S)-2-aminopropyl]-1,7,8,9-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

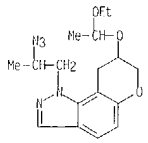
L5 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



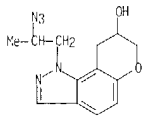
IT **478132-32-0P**, 1-(2-Azidopropyl)-8-(1-ethoxyethoxy)-1,7,8,9-tetrahydropyrano[2,3-g]indazole **478132-33-1P**, 1-(2-Azidopropyl)-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-ol **478132-42-2P**, 1-((R)-2-Azidopropyl)-8-(1-ethoxyethoxy)-1,7,8,9-tetrahydropyrano[2,3-g]indazole **478132-43-3P**, 1-((R)-2-Azidopropyl)-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-ol **478132-44-4P**, 1-((S)-2-Azidopropyl)-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-ol **478132-48-8P**, (R)-1-((S)-2-Azidopropyl)-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-ol **478132-50-2P**, 1-((S)-2-Azidopropyl)-(R)-8-(1-ethoxyethoxy)-1,7,8,9-tetrahydropyrano[2,3-g]indazole **478132-51-3P**, (S)-1-((S)-2-Azidopropyl)-1,7,8,9-tetrahydropyrano[2,3-g]indazol-8-ol **478132-52-4P**, (S)-8-Azido-1-((R)-2-azidopropyl)-1,7,8,9-tetrahydropyrano[2,3-g]indazole **478132-55-7P**, Benzyl [(S)-1-Methyl-2-(7H-pyrano[2,3-g]indazol-1-yl)ethyl]carbamate **478132-56-8P**, Benzyl [(S)-2-[(8R,9S)-8,9-Dihydroxy-8,9-dihydro-7H-pyrano[2,3-g]indazol-1-yl]-1-methylethyl]carbamate **478132-57-9P**, Benzyl [(S)-2-[(8S,9R)-8,9-Dihydroxy-8,9-dihydro-7H-pyrano[2,3-g]indazolyl]-1-methylethyl]carbamate **478132-59-1P**, 1-((S)-2-Azidopropyl)-1,7-dihydropyrano[2,3-g]indazole **478132-60-4P**, Benzyl [(S)-2-(8-Bromo-9-hydroxy-8,9-dihydro-7H-pyrano[2,3-g]indazol-1-yl)-1-methylethyl]carbamate **478132-61-5P**, Benzyl [(S)-2-(9-azido-8-bromo-8,9-dihydro-7H-pyrano[2,3-g]indazol-1-yl)-1-methylethyl]carbamate **478132-62-6P**, Benzyl [(S)-2-(8-hydroxy-9-methoxy-8,9-dihydro-7H-pyrano[2,3-g]indazol-1-yl)-1-methylethyl]carbamate
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(intermediate; preparation of pyranoindazoles with 5-HT2 receptor activity for use in the treatment of glaucoma)

RN 478132-32-0 CAPLUS
CN Pyrano[2,3-g]indazole, 1-(2-azidopropyl)-8-(1-ethoxyethoxy)-1,7,8,9-tetrahydro- (9CI) (CA INDEX NAME)

L5 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

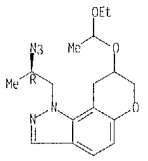


RN 478132-33-1 CAPLUS
CN Pyrano[2,3-g]indazol-8-ol, 1-(2-azidopropyl)-1,7,8,9-tetrahydro- (9CI) (CA INDEX NAME)



RN 478132-42-2 CAPLUS
CN Pyrano[2,3-g]indazole, 1-[(2R)-2-azidopropyl]-8-(1-ethoxyethoxy)-1,7,8,9-tetrahydro- (9CI) (CA INDEX NAME)

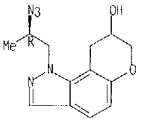
Absolute stereochemistry.



RN 478132-43-3 CAPLUS
CN Pyrano[2,3-g]indazol-8-ol, 1-[(2R)-2-azidopropyl]-1,7,8,9-tetrahydro- (9CI) (CA INDEX NAME)

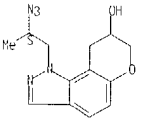
Absolute stereochemistry.

L5 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



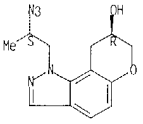
RN 478132-44-4 CAPLUS
CN Pyrano[2,3-g]indazol-8-ol, 1-[(2S)-2-azidopropyl]-1,7,8,9-tetrahydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 478132-48-8 CAPLUS
CN Pyrano[2,3-g]indazol-8-ol, 1-[(2S)-2-azidopropyl]-1,7,8,9 tetrahydro-, (8R)- (9CI) (CA INDEX NAME)

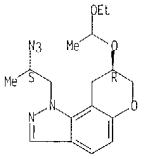
Absolute stereochemistry.



RN 478132-50-2 CAPLUS
CN Pyrano[2,3-g]indazole, 1-[(2S)-2-azidopropyl]-8-(1-ethoxyethoxy)-1,7,8,9-tetrahydro-, (8R)- (9CI) (CA INDEX NAME)

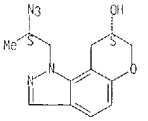
Absolute stereochemistry.

L5 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



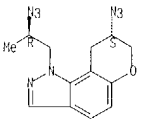
RN 478132-51-3 CAPLUS
CN Pyrano[2,3-g]indazol-8-ol, 1-[(2S)-2-azidopropyl]-1,7,8,9-tetrahydro-, (8S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 478132-52-4 CAPLUS
CN Pyrano[2,3-g]indazole, 8-azido-1-[(2R)-2-azidopropyl]-1,7,8,9-tetrahydro-, (8S)- (9CI) (CA INDEX NAME)

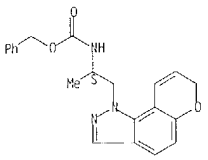
Absolute stereochemistry.



RN 478132-55-7 CAPLUS
CN Carbamic acid, [(1S)-1-methyl-2-pyrano[2,3-g]indazol-1(7H)-ylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

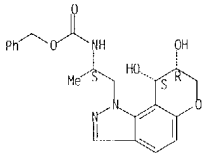
Absolute stereochemistry.

L5 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



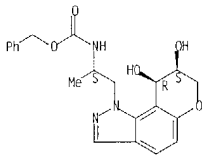
RN 478132-56-8 CAPLUS
CN Carbamic acid, [(1S)-2-[(8R,9S)-8,9-dihydro-8,9-dihydroxypyrano[2,3-g]indazol-1(7H)-yl]-1-methylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

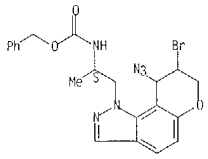


RN 478132-57-9 CAPLUS
CN Carbamic acid, [(1S)-2-[(8S,9R)-8,9-dihydro-8,9-dihydroxypyrano[2,3-g]indazol-1(7H)-yl]-1-methylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

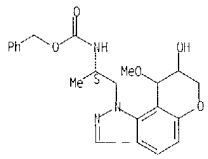


L5 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

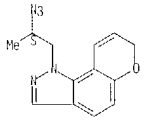


RN 478132-62-6 CAPLUS
CN Carbamic acid, [(1S)-2-(8,9-dihydro-8-hydroxy-9-methoxypyrano[2,3-g]indazol-1(7H)-yl)-1-methylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

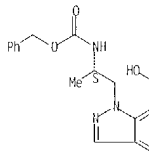


L5 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 478132-59-1 CAPLUS
CN Pyrano[2,3-g]indazole, 1-[(2S)-2-azidopropyl]-1,7-dihydro- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 478132-60-4 CAPLUS
CN Carbamic acid, [(1S)-2-(8-bromo-8,9-dihydro-9-hydroxypyrano[2,3-g]indazol-1(7H)-yl)-1-methylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 478132-61-5 CAPLUS
CN Carbamic acid, [(1S)-2-(9-azido-8-bromo-8,9-dihydropyrano[2,3-g]indazol-1(7H)-yl)-1-methylethyl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 2001:816670 CAPLUS
DOCUMENT NUMBER: 135:357919
TITLE: Preparation of furoindazole derivatives having 5-HT2c agonistic activity
INVENTOR(S): Goto, Seiki; Takahashi, Takumi; Nakamura, Atsushi; Miyafuji, Akio; Maeno, Kyoichi; Shimada, Itsurou
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 40 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001083487	A1	20011108	WO 2001-JP3556	20010425

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2000-129854 A 20000428
OTHER SOURCE(S): CASREACT 135:357919; MARPAT 135:357919
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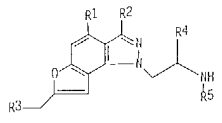


ABSTRACT:
Title compds. [I; R1, R2, R4, R5 each independently = H, alkyl; R3 = alkyl] and pharmaceutically acceptable salts thereof, having selectivity for 5-HT2c receptors and agonistic activity, are prepared. A process for industrially producing title compds. I or pharmaceutically acceptable salt, and an intermediate for use in the process are claimed. Medicinal composition comprising a title compound I or pharmaceutically acceptable salt and a pharmaceutically acceptable carrier, especially a medicinal composition for the treatment of central

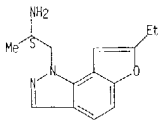
L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
nervous system diseases such as sexual function disorders are also discussed.

IT **372163-85-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of furoindazole derivs. having 5-HT2c agonistic activity)
RN 372163-85-4 CAPLUS
CN Butanedioic acid, compd. with (αS)-7-ethyl-α-methyl-1H-furo[2,3-g]indazole-1-ethanamine (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 372163-84-3
CMF C14 H17 N3 O

Absolute stereochemistry. Rotation (-).



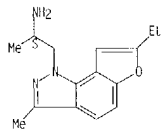
CM 2

CRN 110-15-6
CMF C4 H6 O4

IT **372163-95-6P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of furoindazole derivs. having 5-HT2c agonistic activity)
RN 372163-95-6 CAPLUS
CN Carbamic acid, [(1S)-2-(7-ethyl-1H-furo[2,3-g]indazol-1-yl)-1-methylethyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

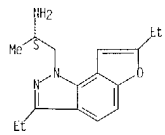
L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



●HCl

RN 372163-87-6 CAPLUS
CN 1H-Furo[2,3-g]indazole-1-ethanamine, 3,7-diethyl-α-methyl-, monohydrochloride, (αS)- (9CI) (CA INDEX NAME)

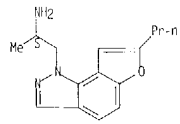
Absolute stereochemistry.



●HCl

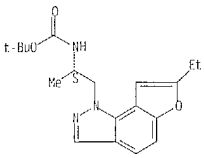
RN 372163-88-7 CAPLUS
CN 1H-Furo[2,3-g]indazole-1-ethanamine, α-methyl-7-propyl-, monohydrochloride, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



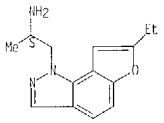
●HCl

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



IT **372163-84-3P 372163-86-5P 372163-87-6P**
372163-88-7P 372163-89-8P 372163-90-1P
372163-91-2P 372163-92-3P 372163-94-5P
372163-96-7P 372163-97-8P 372163-98-9P
372163-99-0P 372164-00-6P 372164-01-7P
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of furoindazole derivs. having 5-HT2c agonistic activity)
RN 372163-84-3 CAPLUS
CN 1H-Furo[2,3-g]indazole-1-ethanamine, 7-ethyl-α-methyl-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

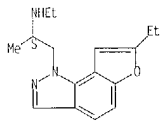


RN 372163-86-5 CAPLUS
CN 1H-Furo[2,3-g]indazole-1-ethanamine, 7-ethyl-α,3-dimethyl-, monohydrochloride, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 372163-89-8 CAPLUS
CN 1H-Furo[2,3-g]indazole-1-ethanamine, N,7-diethyl-α-methyl-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

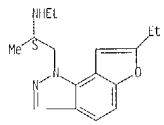


RN 372163-90-1 CAPLUS
CN Butanedioic acid, compd. with (αS)-N,7-diethyl-α-methyl-1H-furo[2,3-g]indazole-1-ethanamine (1:2) (9CI) (CA INDEX NAME)

CM 1

CRN 372163-89-8
CMF C16 H21 N3 O

Absolute stereochemistry.



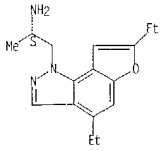
CM 2

CRN 110-15-6
CMF C4 H6 O4

RN 372163-91-2 CAPLUS
CN 1H-Furo[2,3-g]indazole-1-ethanamine, 4,7-diethyl-α-methyl-, monohydrochloride, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

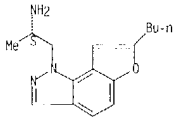
L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



●HCl

RN 372163-92-3 CAPLUS
CN 1H-Furo[2,3-g]indazole-1-ethanamine, 7-butyl-α-methyl-, monohydrochloride, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



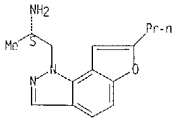
●HCl

RN 372163-94-5 CAPLUS
CN 1H-Furo[2,3-g]indazole-1-ethanamine, α-methyl-7-(3-methylbutyl)-, monohydrochloride, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

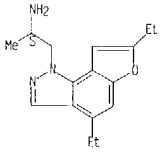
L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
(9CI) (CA INDEX NAME)

Absolute stereochemistry.



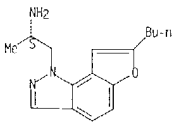
RN 372163-99-0 CAPLUS
CN 1H-Furo[2,3-g]indazole-1-ethanamine, 4,7-diethyl-α-methyl-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 372164-00-6 CAPLUS
CN 1H-Furo[2,3-g]indazole-1-ethanamine, 7-butyl-α-methyl-, (αS)- (9CI) (CA INDEX NAME)

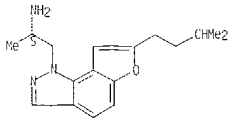
Absolute stereochemistry.



RN 372164-01-7 CAPLUS
CN 1H-Furo[2,3-g]indazole-1-ethanamine, α-methyl-7-(3-methylbutyl)-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

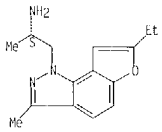
L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



●HCl

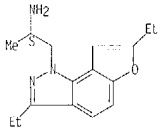
RN 372163-96-7 CAPLUS
CN 1H-Furo[2,3-g]indazole-1-ethanamine, 7-ethyl-α,3-dimethyl-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



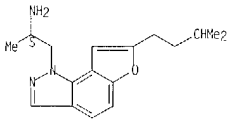
RN 372163-97-8 CAPLUS
CN 1H-Furo[2,3-g]indazole-1-ethanamine, 3,7-diethyl-α-methyl-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 372163-98-9 CAPLUS
CN 1H-Furo[2,3-g]indazole-1-ethanamine, α-methyl-7-propyl-, (αS)-

L5 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

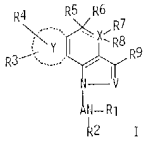
L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN

ACCESSION NUMBER: 1999:7974 CAPLUS
DOCUMENT NUMBER: 130:66493
TITLE: Preparation of tricyclic pyrrole or pyrazole derivatives as pharmaceuticals with affinity for the 5HT2c receptors
INVENTOR(S): Macno, Kyoichi; Kazuta, Ken-ichi; Kubota, Hideki; Shimada, Itsuro; Kimizuka, Tetsuya; Sakamoto, Shuichi; Wanibuchi, Fumikazu
PATENT ASSIGNEE(S): Yamanouchi Pharmaceutical Co., Ltd., Japan
SOURCE: PCT Int. Appl., 52 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

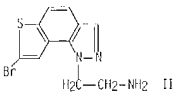
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9856768	A1	19981217	WO 1998-JP2579	19980611
W: AL, AM, AU, AZ, BA, BB, BG, BR, BY, CA, CN, CU, CZ, EE, GE, GH, GW, HU, ID, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, RO, RU, SD, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
AU 9869893	A1	19981217	AU 1998-69893	19980603
AU 727654	B2	20001221		
TW 502024	B	20020911	TW 1998-87108930	19980605
AU 9876740	A1	19981230	AU 1998-76740	19980611
EP 990650	A1	20000405	EP 1998-924579	19980611
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI				
RU 2191176	C2	20021020	RU 1998-111511	19980611
JP 3410478	B2	20030526	JP 1999-502097	19980611
CN 1203234	A	19981230	CN 1998-114746	19980612
CN 1097054	B	20021225		
BR 9802005	A	20000321	BR 1998-2005	19980612
MX 9804743	A	20000831	MX 1998-4743	19980612
US 6245796	B1	20010612	US 1999-445104	19991202

PRIORITY APPLN. INFO.: JP 1997-157255 A 19970613
WO 1998-JP2579 W 19980611
OTHER SOURCE(S): MARPAT 130:66493
GRAPHIC IMAGE:

L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



I



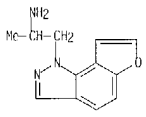
II

ABSTRACT:
The title compds. I [ring Y represents an unsatd. 5-membered ring optionally having 1 to 3 heteroatoms of one or more types selected from the group consisting of nitrogen, oxygen and sulfur or an unsatd. 6-membered ring having 1 or 2 nitrogen atoms; X represents a bond or carbon; the dotted line represents a double or single bond; V represents nitrogen or CH; and A represents linear or branched lower alkylene optionally substituted by halogeno or cycloalkyl; R1, R2 = H, alkyl; or NR1R2 = N-containing saturated heterocyclic ring; R3, R4 = H, alkyl, etc.; R5 - R9 = H, alkyl, OH, etc.; a proviso is given] are prepared. I have high selectivity and affinity for 5-HT2c receptors and are useful in treating central nervous system diseases such as sexual function disorder, appetite regulation disorder, anxiety, depression or sleep disturbance. In an in vitro test for affinity for the 5HT2c receptor, the indazole derivative II showed the Ki value of 0.8 nM.

IT **217522-34-4P 217522-36-6P 217522-38-8P**
217522-42-4P 217522-53-7P 217522-82-2P
217522-89-9P 217522-91-3P 217522-94-6P
217523-04-1P 217523-08-5P 217523-10-9P
217523-25-6P 217523-28-9P 217523-32-5P
217523-34-7P 217523-36-9P 217523-38-1P
217523-40-5P 217523-42-7P 217523-48-3P
217523-53-0P 217523-64-3P 217523-78-9P.
1H-Furo[2,3-g]indazole-1-ethanamine
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of tricyclic pyrrole or pyrazole derivs. as pharmaceuticals with affinity for 5HT2c receptors)

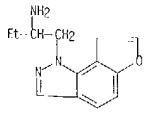
RN 217522-34-4 CAPLUS
CN 1H-Furo[2,3-g]indazole-1-ethanamine, α-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



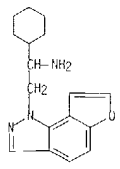
●HCl

RN 217522-36-6 CAPLUS
CN 1H-Furo[2,3-g]indazole-1-ethanamine, α-ethyl-, monohydrochloride (9CI) (CA INDEX NAME)



●HCl

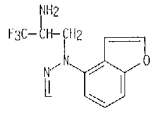
RN 217522-38-8 CAPLUS
CN 1H-Furo[2,3-g]indazole-1-ethanamine, α-cyclohexyl-, monohydrochloride (9CI) (CA INDEX NAME)



●HCl

RN 217522-42-4 CAPLUS

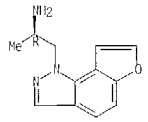
L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
CN 1H-Furo[2,3-g]indazole-1-ethanamine, α-(trifluoromethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



●HCl

RN 217522-53-7 CAPLUS
CN 1H-Furo[2,3-g]indazole-1-ethanamine, α-methyl-, (αR)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

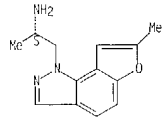


RN 217522-82-2 CAPLUS
CN 1H-Furo[2,3-g]indazole-1-ethanamine, α,7-dimethyl-, (αS)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 217522-81-1
CMF C13 H15 N3 O

Absolute stereochemistry.

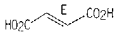


L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

CM 2

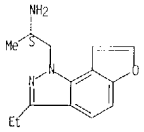
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 217522-89-9 CAPLUS
CN 1H-Furo[2,3-g]indazole-1-ethanamine, 3-ethyl-α-methyl-, dihydrochloride, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

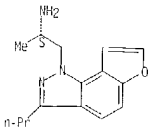


●2 HCl

RN 217522-91-3 CAPLUS
CN 1H-Furo[2,3-g]indazole-1-ethanamine, α-methyl-3-propyl-, dihydrochloride, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



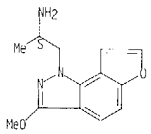
●2 HCl

RN 217522-94-6 CAPLUS
CN 1H-Furo[2,3-g]indazole-1-ethanamine, 3-methoxy-α-methyl-, (αS)-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

CRN 217522-93-5
CMF C13 H15 N3 O2

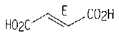
Absolute stereochemistry.



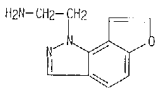
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

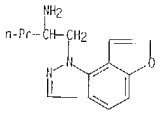


L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
RN 217523-04-1 CAPLUS
CN 1H-Furo[2,3-g]indazole-1-ethanamine, monohydrochloride (9CI) (CA INDEX NAME)



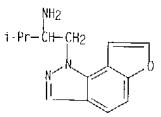
●HCl

RN 217523-08-5 CAPLUS
CN 1H-Furo[2,3-g]indazole-1-ethanamine, α-propyl-, monohydrochloride (9CI) (CA INDEX NAME)



●HCl

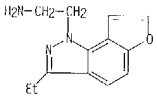
RN 217523-10-9 CAPLUS
CN 1H-Furo[2,3-g]indazole-1-ethanamine, α-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



●HCl

RN 217523-25-6 CAPLUS
CN 1H-Furo[2,3-g]indazole-1-ethanamine, 3-ethyl-, dihydrochloride (9CI) (CA

L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
INDEX NAME)

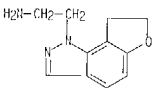


●2 HCl

RN 217523-28-9 CAPLUS
CN 1H-Furo[2.3-g]indazole-1-ethanamine, 7,8-dihydro-, (2E)-2-butenedioate (9CI) (CA INDEX NAME)

CM 1

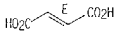
CRN 217523-27-8
CMF C11 H13 N3 O



CM 2

CRN 110-17-8
CMF C4 H4 O4

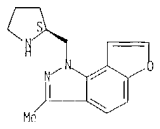
Double bond geometry as shown.



RN 217523-32-5 CAPLUS
CN 1H-Furo[2,3-g]indazole, 3-methyl-1-[(2S)-2-pyrrolidinylmethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

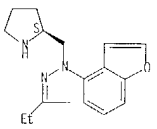
L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



●2 HCl

RN 217523-34-7 CAPLUS
CN 1H-Furo[2,3-g]indazole, 3-ethyl-1-[(2S)-2-pyrrolidinylmethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

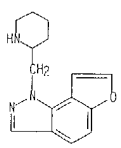


●HCl

RN 217523-36-9 CAPLUS
CN 1H-Furo[2,3-g]indazole, 1-[(2R)-2-pyrrolidinylmethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

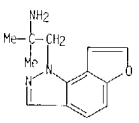
Absolute stereochemistry.

L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



●HCl

RN 217523-42-7 CAPLUS
CN 1H-Furo[2,3-g]indazole-1-ethanamine, α,α-dimethyl-, dihydrochloride (9CI) (CA INDEX NAME)

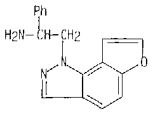


●2 HCl

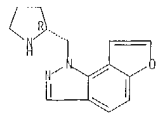
RN 217523-48-3 CAPLUS
CN 1H-Furo[2,3-g]indazole-1-ethanamine, α-phenyl-, (2E)-2-butenedioate (2:1) (9CI) (CA INDEX NAME)

CM 1

CRN 217523-47-2
CMF C17 H15 N3 O



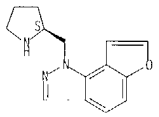
L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



●2 HCl

RN 217523-38-1 CAPLUS
CN 1H-Furo[2,3-g]indazole, 1-[(2S)-2-pyrrolidinylmethyl]-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.



●2 HCl

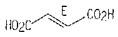
RN 217523-40-5 CAPLUS
CN 1H-Furo[2,3-g]indazole, 1-(2-piperidinylmethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

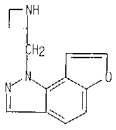
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



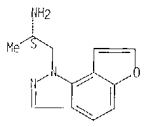
RN 217523-53-0 CAPLUS
CN 1H-Furo[2,3-g]indazole, 1-(2-azetidinylmethyl)-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

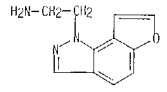
RN 217523-64-3 CAPLUS
CN 1H-Furo[2,3-g]indazole-1-ethanamine, α-methyl-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



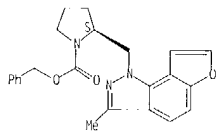
RN 217523-78-9 CAPLUS
CN 1H-Furo[2,3-g]indazole-1-ethanamine (9CI) (CA INDEX NAME)

L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



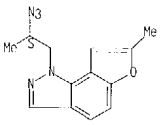
IT **217526-50-6**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of tricyclic pyrrole or pyrazole derivs. as pharmaceuticals with affinity for 5HT2c receptors)
RN 217526-50-6 CAPLUS
CN 1-Pyrrolidinecarboxylic acid, 2-[(3-methyl-1H-furo[2,3-g]indazol-1-yl)methyl]-, phenylmethyl ester, (2S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



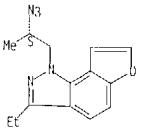
IT **217524-46-4P 217524-48-6P 217524-60-2P**
217524-86-2P 217524-92-0P 217524-94-2P
217524-96-4P 217525-26-3P 217525-74-1P
217526-04-0P 217526-08-4P 217526-33-5P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of tricyclic pyrrole or pyrazole derivs. as pharmaceuticals with affinity for 5HT2c receptors)
RN 217524-46-4 CAPLUS
CN 1H-Furo[2,3-g]indazole, 1-(2-azidopropyl)- (9CI) (CA INDEX NAME)

L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



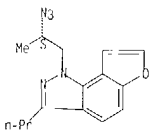
RN 217524-92-0 CAPLUS
CN 1H-Furo[2,3-g]indazole, 1-[(2S)-2-azidopropyl]-3-ethyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 217524-94-2 CAPLUS
CN 1H-Furo[2,3-g]indazole, 1-[(2S)-2-azidopropyl]-3-propyl- (9CI) (CA INDEX NAME)

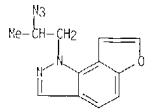
Absolute stereochemistry.



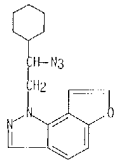
RN 217524-96-4 CAPLUS
CN 1H-Furo[2,3-g]indazole, 1-[(2S)-2-azidopropyl]-3-methoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

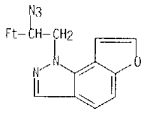
L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)



RN 217524-48-6 CAPLUS
CN 1H-Furo[2,3-g]indazole, 1-(2-azido-?-cyclohexylethyl)- (9CI) (CA INDEX NAME)



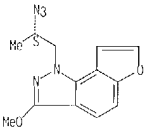
RN 217524-60-2 CAPLUS
CN 1H-Furo[2,3-g]indazole, 1-(2-azidobutyl)- (9CI) (CA INDEX NAME)



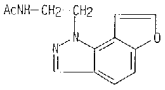
RN 217524-86-2 CAPLUS
CN 1H-Furo[2,3-g]indazole, 1-[(2S)-2-azidopropyl]-7-methyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)

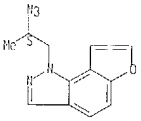


RN 217525-26-3 CAPLUS
CN Acetamide, N-[2-(1H-furo[2,3-g]indazol-1-yl)ethyl]- (9CI) (CA INDEX NAME)

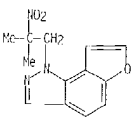


RN 217525-74-1 CAPLUS
CN 1H-Furo[2,3-g]indazole, 1-[(2S)-2-azidopropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

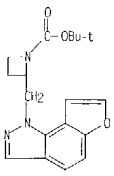


RN 217526-04-0 CAPLUS
CN 1H-Furo[2,3-g]indazole, 1-(2-methyl-2-nitropropyl)- (9CI) (CA INDEX NAME)

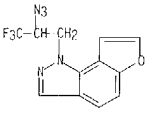


RN 217526-08-4 CAPLUS
CN 1-Azetidinecarboxylic acid, 2-(1H-furo[2,3-g]indazol-1-ylmethyl)-.

L5 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2004 ACS on STN (Continued)
1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



RN 217526-33-5 CAPLUS
CN 1H-Furo[2,3-g]indazole, 1-(2-azido-3,3,3-trifluoropropyl)- (9CI) (CA INDEX NAME)



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